What is claimed is:

1. A composition comprising a compound of formula (I):

$$R^{1}$$
 R^{2}
 $(CH_{2})_{q}$
 $(CH_{2})_{p}$
 (I)

wherein

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L is a direct bond, or an optionally C₁₋₄alkyl substituted radical selected from the group consisting of C₁₋₄alkylene or C₃₋₄alkenylene wherein NR¹R² is attached to an sp³ hybridized carbon, C₃₋₄alkynylene wherein NR¹R² is attached to an sp³ hybridized carbon, C₂₋₄alkylidene wherein NR¹R² is attached to an sp³ hybridized carbon, aryloxy wherein NR¹R² is not attached to the oxygen, arylthio wherein NR¹R² is not attached to the sulfur, C₂₋₄alkoxy wherein NR¹R² is not attached to the oxygen or a carbon attached to the oxygen, C₂₋₄alkylthio wherein NR¹R² is not attached to the sulfur, and -C₂₋₃alkyl-X-C₁₋₂alkyl- wherein X is O, S or NH and wherein NR¹R² is not attached to a carbon attached to X;

p is 0, 1 or 2;

20 q is 1 or 2; provided that $2 \le p+q \le 4$;

R¹ is a substituent independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₃₋₆ alkenyl, C₃₋₉ carbocyclyl, 3-12 membered heterocyclyl, phenyl, (5-9-membered heterocyclyl)C₁₋₆ alkylene, and (phenyl)C₁₋₆ alkylene;

25 R² is a substituent independently selected from the group consisting of C₁₋₆ alkyl, C₃₋₆ alkenyl, C₃₋₉ membered carbocyclyl, 3-12 membered heterocyclyl, phenyl, (5-9-membered heterocyclyl)C₁₋₆ alkylene, and (phenyl)C₁₋₆ alkylene;

	or R ¹ and R ² taken together with the nitrogen to which they are attached
	form a saturated 3-13 membered N-linked heterocyclyl, wherein,
	in addition to the N-linking nitrogen, the 3-13 membered
	heterocyclyl may optionally contain between 1 and 3 additional
5	heteroatoms independently selected from O, S, and NH;
	wherein R ¹ and R ² are optionally and independently substituted with 1-3
	substituents selected from the group consisting of tert-
	butyloxycarbonyl, hydroxy, halo, nitro, amino, cyano,
	carboxamide, C_{1-6} alkyl, C_{1-6} acyl, 5-9-membered heterocyclyl,
10	-N(C ₁₋₆ alkyl)(5-9 membered heterocyclyl), -NH(5-9 membered
	heterocyclyl), -O(5-9 membered heterocyclyl), (5-9 membered
	heterocyclyl)C ₁₋₃ alkylene, C ₁₋₂ -hydroxyalkylene, C ₁₋₆ alkoxy, (C ₃₋₆
	cycloalkyl)-O-, phenyl, (phenyl) C_{1-3} alkylene, and (phenyl) C_{1-3}
	alkylene-O-; and wherein each of the preceding substituents of
15	R ¹ and R ² may optionally have between 1 and 3 substituents
	independently selected from the group consisting of
	trifluoromethyl, halo, nitro, cyano, hydroxy, and C_{1-3} alkyl;
	one of R ³ , R ⁴ and R ⁵ is G and the other two independently are
	hydrogen, fluoro, chloro, bromo, nitro, trifluoromethyl, methyl, or
20	C ₁₋₃ alkoxy ;
	G is L ² Q;
	L ² is unbranched -(CH ₂) _n - wherein n is an integer from 1 to 7;
	Q is NR ⁸ R ⁹ wherein R ⁸ is independently selected from hydrogen, C ₁₋₆
	alkyl, C_{3-6} alkenyl, C_{3-9} carbocyclyl, 3-12 membered heterocyclyl,
25	phenyl, (5-9-membered heterocyclyl)C ₁₋₆ alkylene, and
	(phenyl) C_{1-6} alkylene; and R^9 is independently selected from C_{1-6}
	alkyl, C ₃₋₆ alkenyl, 3-9 membered carbocyclyl, 3-13 membered
	heterocyclyl, phenyl, (5-9-membered heterocyclyl)C ₁₋₆ alkylene,
	and (phenyl) C_{1-6} alkylene; or Q is a saturated 3-15 membered N-
30	linked heterocyclyl, wherein, in addition to the N-linking nitrogen,
	the 3-15 membered heterocyclyl may optionally contain between
	1 and 4 additional heteroatoms independently selected from O.

S, and NH;

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wherein Q is optionally substituted with 1-3 substituents selected (in addition to the preceding paragraph) from the group consisting of *tert*-butyloxycarbonyl, hydroxy, halo, nitro, amino, cyano, carboxamide, C₁₋₆ alkyl, C₁₋₆ acyl, 5-9-membered heterocyclyl, -N(C₁₋₆ alkyl)(5-9 membered heterocyclyl), -NH(5-9 membered heterocyclyl), -O(5-9 membered heterocyclyl), (5-9 membered heterocyclyl)C₁₋₃ alkylene, C₁₋₂-hydroxyalkylene, C₁₋₆ alkoxy, (C₃₋₆ cycloalkyl)-O-, phenyl, (phenyl)C₁₋₃ alkylene, and (phenyl)C₁₋₃ alkylene-O-; and where said substituent groups of Q may optionally have between 1 and 3 substituents independently selected from trifluoromethyl, halo, nitro, cyano, hydroxy, and C₁₋₃ alkyl;

 R^a are independently C_{1-3} alkyl, triflouromethyl; m is 0, 1, 2 or 3; and

wherein each of the above alkyl, alkylene, alkenyl, heterocyclyl, cycloalkyl, carbocyclyl, and aryl groups may each be independently and optionally substituted with between 1 and 3 substituents independently selected from methoxy, halo, amino, nitro, hydroxy, and C₁₋₃ alkyl;

or a pharmaceutically acceptable salt, ester, tautomer, solvate or amide thereof.

- A compound of claim 1, wherein NR¹R² taken together form substituted or unsubstituted morpholinyl, thiomorpholinyl, piperidinyl, methylpiperidinyl, piperazinyl, N-methylpiperazinyl, dimethylamino, pyrrolidinyl, azatricyclodecanyl, cyclohexylmethylamino, methylphenethylamino, pyridylamino, anilino, diethylamino, methylethylamino, ethylpropylamino, or dipropylamino;
- 30 3. A compound of claim 1, wherein NR¹R² taken together form a saturated N-linked nitrogen-containing heterocyclyl.

4. A compound of claim 1, wherein NR¹R² taken together form a substituent selected from substituted or unsubstituted piperidinyl, substituted or unsubstituted piperazinyl, pyrrolinyl, pyrrolinyl, thiomorpholinyl, and morpholinyl.

- 5. A compound of claim 1, wherein wherein NR¹R² taken together form a substituent selected from N-(C₁₋₆ alkyl)piperazinyl, N-phenyl-piperazinyl, 1,3,8-triaza-spiro{4.5}decyl, and 1,4-dioxa-8-aza-spiro{4.5}decyl.
- A compound of claim 2, wherein NR¹R² taken together form a monovalent radical of an amine selected from the group consisting of aziridine, 1,4,7-trioxa-10-aza-cyclododecane, thiazolidine, 1-phenyl-1,3,8-triaza-spiro{4.5}decan-4-one, piperidine-3-carboxylic acid diethylamide, 1,2,3,4,5,6-hexahydro-{2,3'}bipyridinyl, 4-(3-trifluoromethyl-phenyl)-piperazine, 2-piperazin-1-yl-pyrimidine, piperidine-4-carboxylic acid amide, methyl-(2-pyridin-2-yl-ethyl)-amine, {2-(3,4-dimethoxy-phenyl)-ethyl}-methyl-amine, thiomorpholinyl, allyl-cyclopentyl-amine, {2-(1H-indol-3-yl)-ethyl}-methyl-amine, 1-piperidin-4-yl-1,3-dihydro-benzoimidazol-2-one, 2-(piperidin-4-yloxy)-pyrimidine, piperidin-4-yl-pyridin-2-yl-amine, phenylamine, pyridin-2-ylamine.
- A compound of claim 4, wherein NR¹R² taken together form a substituent selected from the group consisting of morpholinyl and piperidinyl, wherein said substituent is optionally substituted with
 between 1 and 3 substituents selected from hydroxy, halo, carboxamide, C₁₋₆ alkyl, C₁₋₆ acyl, 5-9 membered heterocyclyl, -N(C₁₋₆ alkyl)(5-9 membered heterocyclyl), -NH(5-9 membered heterocyclyl), -O(5-9 membered heterocyclyl), (5-9 membered heterocyclyl)C₁₋₃ alkylene, C₁₋₂-hydroxyalkylene, C₁₋₆ alkoxy, (C₃₋₆ cycloalkyl)-O-, phenyl, (phenyl)C₁₋₃
 alkylene, and (phenyl)C₁₋₃ alkylene-O- where each of above heterocyclyl, phenyl, and alkyl groups may be optionally substituted with from 1 to 3 substituents independently selected from trifluoromethyl, halo, nitro, cyano, hydroxy, and C₁₋₃ alkyl.

- A compound of claim 3, wherein the saturated N-linked nitrogen-containing heterocyclyl is substituted with a substituent selected from the group consisting of pyridyl, pyrimidyl, furyl, thiofuryl, imidazolyl, (imidazolyl)C₁₋₆ alkylene, oxazolyl, thiazolyl, 2,3-dihydro-indolyl, benzimidazolyl, 2-oxobenzimidazolyl, (tetrazolyl)C₁₋₆ alkylene, tetrazolyl, (triazolyl)C₁₋₆ alkylene, triazolyl, (pyrrolyl)C₁₋₆ alkylene, pyrrolidinyl, and pyrrolyl.
- 10 9. A compound of claim 1, wherein NR¹R² taken together form morpholinyl, piperidinyl, pyrrolidinyl, or diethylamino.
 - 10. A compound of claim 1, wherein Q is morpholinyl, piperidinyl, pyrrolidinyl, or diethylamino.
 - 11. A compound of claim 1, wherein NR¹R² taken together form morpholinyl, piperidinyl, or pyrrolidinyl.
- 12. A compound of claim 1, wherein Q is morpholinyl, piperidinyl, orpyrrolidinyl.
 - 13. A compound of claim 12, wherein NR¹R² is a substituted or unsubstituted morpholino.
- 25 14. A compound of claim 1, wherein one of R³ and R⁴ is G.
 - 15. A compound of claim 1, wherein R⁴ is G.
 - 16. A compound of claim 14, wherein R³ is G.
 - 17. A compound of claim 1, wherein q is 2 and p is 1.
 - 18. A compound of claim 1, wherein q is 1 and p is 1.

- 19. A compound of claim 1, wherein q is 2 and p is 2.
- 20. A compound of claim 1, wherein L is -CH₂-.

- 21. A compound of claim 1, wherein L is a direct bond.
- 22. A compound of claim 1, wherein L is -CH₂CH₂-.
- 10 23. A compound of claim 1, wherein L² is -CH₂-
 - 24. A compound of claim 1, wherein Q is selected from the group consisting of substituted or unsubstituted pyrrolidinyl, piperidinyl, methylpiperidinyl, morpholinyl, thiomorpholinyl, azatricyclodecanyl, cyclohexylamino, cyclohexylamino, piperazinyl, N-methylpiperazinyl, dimethylamino, methylphenethylamino, pyridylamino, anilino,
- cyclohexylmethylamino, piperazinyl, N-methylpiperazinyl, dimethylamino, methylphenethylamino, pyridylamino, anilino, diethylamino, methylethylamino, ethylpropylamino, dipropylamino, or 1,4,7,10-tetraoxa-13-aza-cyclopentadecanyl.
- 20 25. A compound of claim 1, wherein Q is a saturated N-linked nitrogencontaining heterocyclyl.
- A compound of claim 1, wherein Q is a substituent selected from the group consisting of substituted piperidinyl, unsubstituted piperidinyl, substituted piperazinyl, unsubstituted piperazinyl, pyrrolinyl, pyrrolinyl, thiomorpholinyl, and morpholinyl.
- 27. A compound of claim 1, wherein substituted Q is N-(C₁₋₆ alkyl)piperazinyl, N-phenyl-piperazinyl, 1,3,8-triaza-spiro{4.5}decyl, or 1,4-dioxa-8-aza-spiro{4.5}decyl.
 - 28. A compound of claim 25, wherein Q is a monovalent radical of an amine selected from the group consisting of aziridine, 1,4,7-trioxa-10-aza-

cyclododecane, thiazolidine, 1-phenyl-1,3,8-triaza-spiro{4.5}decan-4one, piperidine-3-carboxylic acid diethylamide, 1,2,3,4,5,6-hexahydro-{2,3'}bipyridinyl, 4-(3-trifluoromethyl-phenyl)-piperazine, 2-piperazin-1-ylpyrimidine, piperidine-4-carboxylic acid amide, methyl-(2-pyridin-2-ylethyl)-amine, {2-(3,4-dimethoxy-phenyl)-ethyl}-methyl-amine, thiomorpholinyl, allyl-cyclopentyl-amine, {2-(1H-indol-3-yl)-ethyl}-methylamine, 1-piperidin-4-yl-1,3-dihydro-benzoimidazol-2-one, 2-(piperidin-4yloxy)-pyrimidine, piperidin-4-yl-pyridin-2-yl-amine, phenylamine, and pyridin-2-ylamine.

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29. A compound of claim 25, wherein Q is morpholinyl, pyridyl, or piperidinyl, and wherein Q is optionally substituted with between 1 and 3 substituents selected from hydroxy, halo, carboxamide, C₁₋₆ alkyl, C₁₋₆ acyl, 5-9 membered or 6-9 membered heterocyclyl, -N(C₁₋₆ alkyl)(5-9 15 membered or 6-9 membered heterocyclyl), -NH(5-9 membered or 6-9 membered heterocyclyl), -O(5-9 or 6-9 membered heterocyclyl), (5-9 membered or 6-9 membered heterocyclyl)C₁₋₃ alkylene, C₁₋₂hydroxyalkylene, C₁₋₆ alkoxy, (C₃₋₆ cycloalkyl)-O-, phenyl, (phenyl)C₁₋₃ alkylene, and (phenyl)C₁₋₃ alkylene-O- where each of above 20 heterocyclyl, phenyl, and alkyl groups may be optionally substituted with from 1 to 3 substituents independently selected from trifluoromethyl. halo, nitro, cyano, hydroxy, and C₁₋₃ alkyl.

30. A compound of claim 29, wherein Q is substituted with a substituent 25 comprising a 5-9 membered heterocyclyl group selected from: pyridyl, pyrimidyl, furyl, thiofuryl, imidazolyl, (imidazolyl)C₁₋₆ alkylene, oxazolyl, thiazolyl, 2,3-dihydro-indolyl, benzimidazolyl, 2-oxobenzimidazolyl, (tetrazolyl)C₁₋₆ alkylene, tetrazolyl, (triazolyl)C₁₋₆ alkylene, triazolyl, (pyrrolyl)C₁₋₆ alkylene, pyrrolidinyl, and pyrrolyl.

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31. A compound of claim 30, wherein Q is a substituted or unsubstituted morpholinyl.

- 32 A compound of claim 1, wherein R⁸ is hydrogen.
- 33. A compound of claim 1, wherein R^8 is C_{1-6} alkyl.
- 5 34. A compound of claim 1, wherein R⁸ is cyclohexyl.
 - 35. A compound of claim 1, wherein R^8 and R^9 independently are C_{1-6} alkyl.
 - 36. A compound of claim 1, wherein R⁸ and R⁹ are methyl.
 - 37. A compound of claim 1, wherein R⁸ and R⁹ are ethyl.
- A compound of claim 32, wherein R⁹ is selected from phenyl or 5-9 membered aromatic heterocyclyl, wherein said phenyl or aromatic heterocyclyl is optionally substituted with 1-3 substituents selected from hydroxy, halo, nitro, cyano, trifluoromethyl, and C₁₋₃ alkyl.
- A compound of claim 38, wherein R⁹ is selected from substituted or unsubstituted phenyl, pyridyl, pyrimidyl, furyl, thiofuryl, imidazolyl,
 (imidazolyl)C₁₋₆ alkylene, oxazolyl, thiazolyl, 2,3-dihydro-indolyl, benzimidazolyl, 2-oxobenzimidazolyl, (tetrazolyl)C₁₋₆ alkylene, tetrazolyl, (triazolyl)C₁₋₆ alkylene, triazolyl, (pyrrolyl)C₁₋₆ alkylene, and pyrrolyl.
- 40. A compound of claim 39, wherein R⁹ is substituted or unsubstituted phenyl.
 - 41. A compound of claim 39, wherein R⁹ is substituted or unsubstituted pyridyl.
- 30 42. A compound of claim 1, wherein:

 R¹ and R² are independently selected from C₂ alkyl, or taken together with the nitrogen to which they are attached, they form a non-

aromatic 5-6 membered heterocyclyl optionally including an additional heteroatom independently selected from O, S, and NH; one of R³, R⁴, and R⁵ is G and the two remaining are H; G is L²Q;

L² is methylene;

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Q is NR⁸R⁹ wherein R⁸ is independently selected from hydrogen, C₁₋₂ alkyl, C₃ alkenyl, C₅₋₉ carbocyclyl, 3-12 membered heterocyclyl, phenyl, (5-9-membered heterocyclyl)C₁₋₆ alkylene, and (phenyl)C₁₋₆ alkylene; and R⁹ is independently selected from C₁₋₂ alkyl, C₃ alkenyl, C₅₋₉ carbocyclyl, 3-12 membered heterocyclyl, phenyl, (6-9-membered heterocyclyl)C₁₋₆ alkylene, and (phenyl)C₁₋₆ alkylene; or Q is a saturated 3-15 membered N-linked heterocyclyl, wherein, in addition to the N-linking nitrogen, the 3-15 membered heterocyclyl may optionally contain between 1 and 4 additional heteroatoms selected from O, S, and NH;

wherein each of the above alkyl, alkylene, alkenyl, alkenylene, heterocyclyl, and carbocyclyl groups may each be independently and optionally substituted with between 1 and 3 substituents selected from methoxy, halo, amino, nitro, hydroxyl, and C₁₋₃ alkyl;

wherein substituents of Q can be further selected from *tert*-butyloxycarbonyl, hydroxy, halo, nitro, amino, cyano, carboxamide, 5-9-membered heterocyclyl, -NH(6-membered heterocyclyl), -O(6-membered heterocyclyl), C₂-hydroxyalkylene, phenyl, benzyl and, where each of above heterocyclyl, phenyl, and alkyl substituent groups of Q may be optionally substituted with trifluoromethyl;

or a pharmaceutically acceptable salt, ester, tautomer, solvate or amide thereof.

43. A compound of claim 1, wherein NR¹R² taken together form morpholinyl, piperidinyl, pyrrolidinyl, or diethylamino,

p is 1 and q is 2, and

Q is selected from substituted or unsubstituted piperidinyl, piperazinyl, pyrrolinyl, pyrrolidinyl, thiomorpholinyl, and morpholinyl.

- 5 44. A compound of claim 1, wherein (a) NR¹R² taken together form piperidinyl or pyrrolidinyl, (b) n is 1, (c) p is 1 and q is 2, and (d) Q is selected from morpholinyl and piperidinyl.
- 45. A compound of claim 1, wherein (a) NR¹R² taken together form

 10 piperidinyl or pyrrolidinyl, (b) n is 1, (c) p is 1 and q is 2, and (d) Q is selected from morpholinyl and piperidinyl.
 - 46. A compound of claim 44, wherein Q is piperidinyl or substituted piperidinyl.

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47. A compound of claim 1, wherein NR¹R² taken together form piperidinyl, pyrrolidinyl, or diethylamino, n is 1,

p is 1 and q is 2, and

- Q is NR⁸R⁹ and R⁸ is H and R⁹ is selected from phenyl or aromatic 5-9 membered heterocyclyl, wherein said phenyl or heterocyclyl is optionally substituted with 1-3 substituents selected from trifluoromethyl, halo, nitro, cyano, hydroxy, and C₁₋₃ alkyl.
- 25 48. A compound of claim 1 wherein R^a is hydrogen.
 - 49. A compound of claim 1 selected from the group consisting of 4-{2-(4-Piperidin-1-ylmethyl-piperidin-1-yl)-benzyl}-morpholine; Cyclohexyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
 - 1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}azacyclotridecane;
 - Diethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;

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Dimethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
             1-Methyl-4-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    piperazine;
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidin-4-ol;
 5
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    thiomorpholine;
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine;
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
             4-{3-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-thiomorpholine:
10
             4-{3-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-morpholine;
             4-Pyrrolidin-1-ylmethyl-1-(3-pyrrolidin-1-ylmethyl-phenyl)-piperidine:
             1-{3-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidine;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-azacyclotridecane:
             Cyclohexyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine;
15
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidin-4-ol:
             1-Methyl-4-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperazine;
             4-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-thiomorpholine:
             4-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-morpholine;
             Dimethyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine:
20
             4-{2-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-morpholine;
             4-{1-(4-Piperidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidine:
             Cyclohexyl-{1-(4-morpholin-4-ylmethyl-phenyl)-piperidin-4-yl}-amine;
             Cyclohexyl-methyl-{1-(4-morpholin-4-ylmethyl-phenyl)-piperidin-4-yl}-
25
                    amine:
             4-{4-(4-Methyl-piperazin-1-yl)-piperidin-1-yl}-benzyl}-morpholine:
             Ethyl-methyl-{1-(4-morpholin-4-ylmethyl-phenyl)-piperidin-4-yl}-amine;
             4-{1-(4-Morpholin-4-vlmethyl-phenyl)-piperidin-4-vl}-morpholine:
             4-{4-(4-Pyrrolidin-1-yl-piperidin-1-yl)-benzyl}-morpholine;
30
             1'-(4-Morpholin-4-ylmethyl-phenyl)-{1,4'}bipiperidinyl:
             1'-(4-Piperidin-1-ylmethyl-phenyl)-{1,4'}bipiperidinyl;
             (4-{1,4'}Bipiperidinyl-1'-yl-benzyl)-pyridin-2-yl-amine;
             Phenyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine:
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	amine;
	1-{1-(4-Piperidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine;
	4-Pyrrolidin-1-ylmethyl-1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidine;
5	(4-Fluoro-phenyl)-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}- amine;
	4-{2-{1-(4-Piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-morpholine;
	Diethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-amine
10	Methyl-phenethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-amine;
	1-[4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-3-trifluoromethyl-benzyl]-
	1-(2-Nitro-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-piperidine;
15	4-[3-Nitro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-morpholine;
	1-[3-Nitro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-piperidin-4-ol;
	1-[4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-2-trifluoromethyl-benzyl]- piperidine;
	1-Isopropyl-4-[3-methyl-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-
20	piperazine;
	1-(2-Methyl-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-pyrrolidine;
	1-[3-Methyl-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-pyrrolidine;
25	1-{1-[4-(4-Pyrrolidin-1-yl-piperidin-1-ylmethyl)-2-trifluoromethyl-phenyl]-piperidin-4-ylmethyl}-pyrrolidine;
	1-(1-{3-Trifluoromethyl-4-[4-(4-trifluoromethyl-phenyl)-piperidin-1-ylmethyl]-phenyl}-piperidin-4-ylmethyl)-pyrrolidine;
	1-{1-[2-Fluoro-4-(4-phenyl-piperidin-1-ylmethyl)-phenyl]-piperidin-4-ylmethyl}-pyrrolidine;
30	[3-Fluoro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-dimethyl-amine;
	1-[3-Fluoro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-piperidine;

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1,4,7,10-tetraoxa-13-aza-cyclopentadecane
                    ditrifluoromethanesulfonate; and
             {1-[4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-3-trifluoromethyl-benzyl]-
 5
                    piperidin-4-yl}-methanol.
      50.
             A compound of claim 1 selected from the group consisting of
             (4-{1,4'}Bipiperidinyl-1'-yl-benzyl)-pyridin-2-yl-amine;
             1'-(4-Morpholin-4-ylmethyl-phenyl)-{1,4'}bipiperidinyl;
10
             1'-(4-Piperidin-1-ylmethyl-phenyl)-{1,4'}bipiperidinyl;
             1-{1-(4-Piperidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine;
             4-{1-(4-Piperidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidin-4-ol;
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine:
15
             1-{3-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidine;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-azacyclotridecane;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidin-4-ol;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidine;
             1-Methyl-4-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
20
                    piperazine;
             1-Methyl-4-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperazine;
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    thiomorpholine;
25
             4-{3-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-thiomorpholine;
             4-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-thiomorpholine;
             4-{2-{1-(4-Piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-morpholine;
             4-Pyrrolidin-1-ylmethyl-1-(3-pyrrolidin-1-ylmethyl-phenyl)-piperidine;
             4-Pyrrolidin-1-ylmethyl-1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidine;
30
             Cyclohexyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    amine;
             Cyclohexyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine;
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13-[4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-2-trifluoromethyl-benzyl]-

		Cyclonexyl-metnyl-{1-(4-morpholin-4-ylmetnyl-phenyl)-piperidin-4-yl}- amine;
		Diethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
		Diethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-amine
5		Dimethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
		Dimethyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine;
		Methyl-phenethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-amine;
		Phenyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
10		Pyridin-2-yl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
		1-(2-Nitro-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl- piperidine;
		1-[3-Nitro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-piperidin-4-ol;
15		1-[4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-2-trifluoromethyl-benzyl]- piperidine;
		1-(2-Methyl-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl- pyrrolidine;
		1-[3-Methyl-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-pyrrolidine;
20		1-{1-[4-(4-Pyrrolidin-1-yl-piperidin-1-ylmethyl)-2-trifluoromethyl-phenyl]-piperidin-4-ylmethyl}-pyrrolidine;
		1-{1-[2-Fluoro-4-(4-phenyl-piperidin-1-ylmethyl)-phenyl]-piperidin-4-ylmethyl}-pyrrolidine;
25		[3-Fluoro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-dimethyl-amine; and
		1-[3-Fluoro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-piperidine.
	51.	A compound of claim 1 selected from the group consisting of
		1'-(4-Piperidin-1-ylmethyl-phenyl)-{1,4'}bipiperidinyl;
30		1-{1-(4-Piperidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine;
		4-{1-(4-Piperidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
		1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidin-4-ol;
		1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-niperidin-4-ylmethyl}-niperidine:

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1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidin-4-ol;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidine;
             1-Methyl-4-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    piperazine;
 5
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    thiomorpholine;
             4-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-thiomorpholine;
             4-{2-{1-(4-Piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-morpholine;
10
             4-Pyrrolidin-1-ylmethyl-1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidine;
             Cyclohexyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    amine;
             Cyclohexyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine:
             Diethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
15
             Diethyl-{2-{1-(4-piperidin-1-vlmethyl-phenyl)-pyrrolidin-3-vl}-ethyl}-amine;
             Dimethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
             Dimethyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine;
             Methyl-phenethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-
                    ethyl}-amine;
20
             Pyridin-2-yl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    amine:
             1-(2-Nitro-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-
                    piperidine;
             1-[3-Nitro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-piperidin-4-ol;
25
             1-(2-Methyl-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-
                    pyrrolidine:
             [3-Fluoro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-dimethyl-
                    amine: and
             1-[3-Fluoro-4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl]-piperidine.
30
      52.
             A compound of claim 1 selected from the group consisting of
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidin-4-ol;
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine;
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piperazine;
             1-{4-(4-Pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-piperidine;
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-morpholine;
 5
             4-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    thiomorpholine;
             4-{2-{1-(4-Piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-morpholine;
             4-Pyrrolidin-1-ylmethyl-1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidine;
             Cyclohexyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
10
                    amine:
             Cyclohexyl-{4-(4-pyrrolidin-1-ylmethyl-piperidin-1-yl)-benzyl}-amine;
             Diethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
             Diethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-amine;
             Dimethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
15
             Methyl-phenethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-
                    ethyl}-amine;
             1-(2-Nitro-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-
                    piperidine; and
             1-(2-Methyl-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-
20
                    pyrrolidine.
      53.
             A compound of claim 1 selected from the group consisting of
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidin-4-ol;
             1-{1-(4-Pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-piperidine;
25
             4-Pyrrolidin-1-ylmethyl-1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidine;
             4-{2-{1-(4-Piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-morpholine:
             Cyclohexyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-
                    amine:
             Diethyl-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-amine;
30
             Diethyl-{2-{1-(4-piperidin-1-ylmethyl-phenyl)-pyrrolidin-3-yl}-ethyl}-amine:
                    and
             1-(2-Methyl-4-pyrrolidin-1-ylmethyl-phenyl)-4-pyrrolidin-1-ylmethyl-
                    pyrrolidine.
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1-Methyl-4-{1-(4-pyrrolidin-1-ylmethyl-phenyl)-piperidin-4-ylmethyl}-

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- 54. A pharmaceutical composition, comprising a compound of claim 1 and a pharmaceutically-acceptable excipient.
- 5 55. A compound of claim 1 isotopically-labelled to be detectable by PET or SPECT.
 - 56. A method of inhibiting histamine H₃ receptor activity in a subject, comprising administering an effective amount of a compound of claim 1 to a subject in need of such inhibition of histamine H₃ receptor activity.
 - 57. A method of treating a subject having a disease or condition modulated by histamine H₃ receptor activity, comprising administering to the subject a therapeutically effective amount of a compound of claim 1.
 - 58. A method of claim 57, wherein said disease or condition is selected from the group consisting of sleep/wake disorders, arousal/vigilance disorders, migraine, asthma, dementia, mild cognitive impairment (predementia), Alzheimer's disease, epilepsy, narcolepsy, eating disorders, motion sickness, vertigo, attention deficit hyperactivity disorders, learning disorders, memory retention disorders, schizophrenia, nasal congestion, allergic rhinitis, and upper airway allergic response.
- 59. A method for treating a disease or condition modulated by at least one receptor selected from the histamine H₁ receptor and the histamine H₃ receptor, said method comprising (a) administering to a subject a jointly effective amount of a histamine H₁ receptor antagonist compound, and (b) administering to the subject a jointly effective amount of a compound of claim 1, said method providing a jointly therapeutically effective amount of said compounds.
 - 60. The method of claim 59 wherein the histamine H₁ receptor antagonist and the compound of claim 1 are present in the same dosage form.

15

- 61. A method for treating diseases or conditions modulated by at least one receptor selected from the histamine H₂ receptor and the histamine H₃ receptor in a subject, comprising (a) administering to the subject a jointly effective amount of a histamine H₂ receptor antagonist compound, and (b) administering to the subject a jointly effective amount of a compound of claim 1, said method providing a jointly therapeutically effective amount of said compounds.
- 10 62. The method of claim 39 wherein the histamine H₂ receptor antagonist and the compound of claim 1 are present in the same dosage form.
 - 63. A method for treating one or more disorders or conditions selected from the group consisting of sleep/wake disorders, narcolepsy, and arousal/vigilance disorders, comprising administering to a subject a therapeutically effective amount of a compound of claim 1.
- 64. A method for treating attention deficit hyperactivity disorders (ADHD), comprising administering to a subject a therapeutically effective amount of a compound of claim 1.
 - 65. A method for treating one or more disorders or conditions selected from the group consisting of dementia, mild cognitive impairment (predementia), cognitive dysfunction, schizophrenia, depression, manic disorders, bipolar disorders, and learning and memory disorders, comprising administering to a subject a therapeutically effective amount of a compound of claim 1.
- 66. A method for treating or preventing upper airway allergic response,
 30 nasal congestion, or allergic rhinitis, comprising administering to a
 subject a therapeutically effective amount of a compound of claim 1.

- 67. A method for studying disorders mediated by the histamine H₃ receptor, comprising using an ¹⁸F-labeled or ¹¹C-labeled compound of claim 1 as a positron emission tomography (PET) molecular probe.
- 5 68. A composition comprising a compound of formula (I):

$$R^{1}$$
 R^{2}
 $(CH_{2})_{q}$
 $(CH_{2})_{q}$

wherein

L is a direct bond, or an optionally C₁₋₄alkyl substituted radical selected

from the group consisting of C₁₋₄alkylene or C₃₋₄alkenylene
wherein NR¹R² is attached to an sp³ hybridized carbon,
C₃₋₄alkynylene wherein NR¹R² is attached to an sp³ hybridized
carbon, C₂₋₄alkylidene wherein NR¹R² is attached to an sp³
hybridized carbon, aryloxy wherein NR¹R² is not attached to the

oxygen, arylthio wherein NR¹R² is not attached to the sulfur, C₂₋₄alkoxy wherein NR¹R² is not attached to the oxygen or a carbon attached to the oxygen, C₂₋₄alkylthio wherein NR¹R² is not attached to the sulfur or a carbon attached to the sulfur, and -C₂₋₃alkyl-X-C₁₋₂alkyl- wherein X is O, S or NH and wherein NR¹R²

is not attached to a carbon attached to X;

p is 0, 1 or 2;

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20

q is 1 or 2; provided that $2 \le p+q \le 4$;

R¹ and R² are independently selected from hydrogen, C₁₋₃ alkyl, allyl,
C₃₋₈ cycloalkyl, 5-9 membered heterocyclyl, phenyl, and
(phenyl)C₁₋₃ alkylene, or taken together with the nitrogen to which
they are attached, they form a non-aromatic 4-13 membered
heterocyclyl optionally including up to two additional heteroatoms
independently selected from O, S, and NH; and wherein R¹ and
R² are optionally and independently substituted with substitutents

selected from the group consisting of trifluoromethyl, halo, nitro, cyano, hydroxy, and C₁₋₃ alkyl;

one of R³, R⁴ and R⁵ is G and the other two independently are hydrogen, fluoro, chloro, bromo, nitro, trifluoromethyl, methyl, or C₁₋₃ alkoxy;

G is L²Q;

S, and NH;

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L² is unbranched -(CH₂)_n- wherein n is an integer from 1 to 7;
Q is NR⁸R⁹ wherein R⁸ is independently selected from hydrogen, C₁₋₆ alkyl, C₃₋₆ alkenyl, C₄₋₉ carbocyclyl, 3-12 membered heterocyclyl, phenyl, (5-9-membered heterocyclyl)C₁₋₆ alkylene, and (phenyl)C₁₋₆ alkylene; and R⁹ is independently selected from C₁₋₆ alkyl, C₃₋₆ alkenyl, C₄₋₉ membered carbocyclyl, 3-12 membered heterocyclyl, phenyl, (5-9-membered heterocyclyl)C₁₋₆ alkylene, and (phenyl)C₁₋₆ alkylene; or Q is a saturated 3-15 membered N-linked heterocyclyl, wherein, in addition to the N-linking nitrogen, the 3-15 membered heterocyclyl may optionally contain between 1 and 4 additional heteroatoms independently selected from O,

and wherein Q is optionally substituted with 1-3 substituents selected (in addition to the preceding paragraph) from the group consisting of *tert*-butyloxycarbonyl, hydroxy, halo, nitro, amino, cyano, carboxamide, C₁₋₆ alkyl, C₁₋₆ acyl, 5-9-membered heterocyclyl, - N(C₁₋₆ alkyl)(5-9 membered heterocyclyl), -NH(5-9 membered heterocyclyl), -O(5-9 membered heterocyclyl), (5-9 membered heterocyclyl)C₁₋₃ alkylene, C₁₋₂-hydroxyalkylene, C₁₋₆ alkoxy, (C₃₋₆ cycloalkyl)-O-, phenyl, (phenyl)C₁₋₃ alkylene, and (phenyl)C₁₋₃ alkylene-O-; and where said substituent groups of Q may optionally have between 1 and 3 substituents independently selected from trifluoromethyl, halo, nitro, cyano, hydroxy, and C₁₋₃ alkyl;

 R^a are independently C_{1-3} alkyl, triflouromethyl; and m is 0, 1, 2 or 3;

or a pharmaceutically acceptable salt, ester, tautomer, solvate or amide thereof.